\Rightarrow d his

(FILE 'HOME' ENTERED AT 15:45:05 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 15:45:17 ON 27 MAR 2009 STRUCTURE UPLOADED

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 1 S L1 AND L2
L4 16 S L1 AND L2 FULL

 \Rightarrow d que 14 stat

L1 STR

Me0 NH NH

NH

Structure attributes must be viewed using STN Express query preparation.

CH COOH

Structure attributes must be viewed using STN Express query preparation. L4 $\,$ 16 SEA FILE=REGISTRY SSS FUL L1 AND L2

100.0% PROCESSED 17 ITERATIONS 16 ANSWERS SEARCH TIME: 00.00.01

=> d 12 L2 HAS NO ANSWERS L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 1-16 ide can

ANSWER 1 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

1089180-03-9 REGISTRY Entered STN: 23 Dec 2008 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-, (2E)-2-butenedioate (1:?) (CA INDEX NAME) CN

FS STEREOSEARCH

 $\text{C}16\ \text{H}23\ \text{N}5\ \text{O}$. x $\text{C}4\ \text{H}4\ \text{O}4$ MF

SR CA

LC STN Files: CA, CAPLUS

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \\ \text{4-MeO} \\ \end{array}$$

CM2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 150:24285

REFERENCE 2: 150:24137

- ANSWER 2 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4
- RN
- 1052274-43-7 REGISTRY Entered STN: 24 Sep 2008 ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN (2E)-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

OTHER NAMES:

- CN (E)-Tegaserod maleate
- CN (E)-[(5-Methoxyindol-3-yl)methylenehydrazino](pentylamino)methaniminium maleate
- FS STEREOSEARCH
- MF C16 H23 N5 O . C4 H4 O4
- SR CA
- STN Files: CA, CAPLUS, CHEMCATS

CM1

1044642-88-7 CRN CMF C16 H23 N5 0

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 3 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN 944385-51-7 REGISTRY Entered STN: 10 Aug 2007 L4
- RN
- ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN(2Z)-2-butenedioate, hydrate (2:3:4) (CA INDEX NAME)
- FS STEREOSEARCH
- C16 H23 N5 O . 3/2 C4 H4 O4 . 2 H2 O MF
- SR CA
- LC STN Files: CA, CAPLUS

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 4 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN 944385-50-6 REGISTRY Entered STN: 10 Aug 2007 L4

RN

ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-, (2Z)-2-butenedioate (2:3) (CA INDEX NAME) CN

FS STEREOSEARCH

C16 H23 N5 O . 3/2 C4 H4 O4MF

SR CA

LC STN Files: CA, CAPLUS

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \\ \text{4-MeO} \\ \end{array}$$

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\text{HO}_2\text{C} \qquad \text{CO}_2\text{H}$$

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 5 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4
- RN
- 914100-15-5 REGISTRY Entered STN: 28 Nov 2006 ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2E)-2-butenedioate (1:1) (CA INDEX NAME) CN
- FS STEREOSEARCH
- C16 H23 N5 O . C4 H4 O4 MF
- SR CA
- LC STN Files: CA, CAPLUS

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 149:128733

REFERENCE 2: 149:128730

REFERENCE 3: 145:477955 L4

RN

ED

ANSWER 6 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN 857305-37-4 REGISTRY Entered STN: 27 Jul 2005 Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1), monohydrate (9CI) (CA INDEX NAME) CN

FS STEREOSEARCH

C16 H23 N5 O . C4 H4 O4 . H2 O MF

SR CA

LC STN Files: CA, CAPLUS

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 7 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

855997-86-3 REGISTRY Entered STN: 19 Jul 2005 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN (2Z)-2-butenedioate, compd. with 1,4-dioxane (2:1:2) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

C16 H23 N5 O . C4 H8 O2 . 1/2 C4 H4 O4 MF

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 123-91-1 CMF C4 H8 02



CM3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 8 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4
- RN
- 855997-84-1 REGISTRY Entered STN: 19 Jul 2005 ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN (2Z)-2-butenedioate, hydrate (2:1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (2:1), monohydrate (9CI)
- FS STEREOSEARCH
- C16 H23 N5 O . 1/2 C4 H4 O4 . 1/2 H2 O MF
- SR CA
- STN Files: CA, CAPLUS, USPATFULL

CM1

CRN 145158-71-0 CMF C16 H23 N5 O

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

3 REFERENCES IN FILE CA (1907 TO DATE) 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 147:474623

REFERENCE 2: 146:107665

ANSWER 9 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

855997-83-0 REGISTRY Entered STN: 19 Jul 2005 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN(2Z)-2-butenedioate, compd. with ethanol (1:1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

C16 H23 N5 O . C4 H4 O4 . C2 H6 O MF

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM3

CRN 64-17-5 CMF C2 H6 0

 ${
m H}_{3}{
m C}-{
m CH}_{2}-{
m OH}$

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 10 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

855997-82-9 REGISTRY Entered STN: 19 Jul 2005 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-CN (2Z)-2-butenedioate, compd. with trichloromethane (1:1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

 $\text{C16}\ \text{H23}\ \text{N5}\ \text{O}$. $\text{C4}\ \text{H4}\ \text{O4}$. $\text{C}\ \text{H}\ \text{C13}$ MF

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM3

CRN 67-66-3 CMF C H C13

C1C1-CH-C1

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 11 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4
- RN
- 855997-81-8 REGISTRY Entered STN: 19 Jul 2005 ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-CN (2Z)-2-butenedioate, compd. with 1-propanol (1:1:1) (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- C16 H23 N5 O . C4 H4 O4 . C3 H8 O MF
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM3

CRN 71-23-8 CMF C3 H8 O

 ${
m H}_{3}{
m C}-{
m CH}_{2}-{
m CH}_{2}-{
m OH}$

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 12 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4
- RN
- 843609-66-5 REGISTRY Entered STN: 07 Mar 2005 ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX CN NAME)
- FS STEREOSEARCH
- C16 H23 N5 O . C4 H4 O4 . x C3 H8 O MF
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM3

CRN 67-63-0 CMF C3 H8 O

OH H3C-CH-CH3

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 13 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

843609-63-2 REGISTRY Entered STN: 07 Mar 2005 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN(2Z)-2-butenedioate, compd. with ethanol (1:1:?) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

C16 H23 N5 O . C4 H4 O4 . \times C2 H6 O MF

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

> CM1

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\text{HO}_2\text{C} \qquad \text{CO}_2\text{H}$$

CM3

CRN 64-17-5 CMF C2 H6 0

 ${
m H}_{3}{
m C}-{
m CH}_{2}-{
m OH}$

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 14 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN 843609-62-1 REGISTRY Entered STN: 07 Mar 2005 L4
- RN
- ED
- Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-CN (2Z)-2-butenedioate, compd. with 2-propanone (1:1:?) (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- C16 H23 N5 O . C4 H4 O4 . x C3 H6 O MF
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

CRN 145158-71-0 CMF C16 H23 N5 0

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM3

CRN 67-64-1 CMF C3 H6 O

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- L4 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
- RN 189188-57-6 REGISTRY
- ED Entered STN: 22 May 1997
- CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (Z)-2-butenedioate (1:1)
- OTHER NAMES:
- CN HTF 919
- CN SDZ-HTF 919
- CN Tegaserod hydrogen maleate
- CN Tegaserod maleate
- CN Zelmac
- CN Zelnorm
- FS STEREOSEARCH
- MF C16 H23 N5 O . C4 H4 O4
- SR CA
- STN Files: ADISINSIGHT, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CBNB, CHEMCATS, CSCHEM, DDFU, DRUGU, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PHAR, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (*File contains numerically searchable property data)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

113 REFERENCES IN FILE CA (1907 TO DATE) 113 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 150:129377

REFERENCE 2: 150:128688

REFERENCE 3: 150:64070

REFERENCE 4: 149:582435

REFERENCE 5: 149:548963

REFERENCE 6: 149:394712

REFERENCE 7: 149:322960

REFERENCE 8: 149:274989

REFERENCE 9: 149:258435

REFERENCE 10: 149:252252

ANSWER 16 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN L4

RN

145427-85-6 REGISTRY Entered STN: 21 Jan 1993 ED

Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (2:1) (CA INDEX NAME) CN

OTHER CA INDEX NAMES:

 $\label{thm:hydrazine} \begin{tabular}{ll} Hydrazine carboximidamide, & 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, & (Z)-2-butenedioate & (2:1) \end{tabular}$

FS STEREOSEARCH

C16 H23 N5 O . 1/2 C4 H4 O4MF

SR CA

STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH, TOXCENTER, USPATFULL

CM1

CRN 145158-71-0 CMF C16 H23 N5 O

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

4 REFERENCES IN FILE CA (1907 TO DATE) 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 147:371820

REFERENCE 2: 144:94399

REFERENCE 3: 143:83499

REFERENCE 4: 118:80801 => fil capl FILE 'CAPLUS' ENTERED AT 15:48:28 ON 27 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 27 Mar 2009 VOL 150 ISS 14 FILE LAST UPDATED: 26 Mar 2009 (20090326/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.
'.FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

=> s 14 L5 121 L4

=> s 14(L) (polymorph? or cryst)

121 L4

252532 POLYMORPH?

384784 CRYST

1802 CRYSTS

386053 CRYST

(CRYST OR CRYSTS)

L6

11 L4(L) (POLYMORPH? OR CRYST)

=> d 1-11 bib abs hitstr

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L6 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
```

AN 2008:1487234 CAPLUS

DN 150:24137

TI Novel polymorphic forms of tegaserod fumarate and their use for treating gastrointestinal disorders

IN Gaitonde, Abhay; Manojkumar, Bindu; Sonawane, Sandeep; Kokane, Dattatrey

PA Generics UK Limited, UK; Mylan Development Centre Private Limited

SO PCT Int. Appl., 26pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

| | PATENT NO. | | | | | D | DATE | | | APPLICATION NO. | | | | | DATE | | |
|----|---------------|-----|-----|-----|-----|----------|------|-----|-----------------|-----------------|-----|----------|-----|-----|------|-----|-----|
| PΙ | WO 2008149139 | | | A2 | | 20081211 | | | WO 2008-GB50395 | | | 20080530 | | | | | |
| | W: | AE, | AG, | AL, | AM, | A0, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | ΒZ, |
| | | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | D0, | DZ, | EC, | EE, | EG, | ES, |
| | | FΙ, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, |
| | | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HR, | HU, |
| | | IE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, |
| | | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, |
| | | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
| | | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | |

PRAI IN 2007-MU1040 A 20070604

AB The present invention relates to novel polymorphic forms of the fumarate salt of tegaserod, and to processes for the preparation of these novel polymorphic forms. The invention also relates to pharmaceutical compns. comprising these novel polymorphs, and to uses of said compns. for treating patients suffering from gastrointestinal disorders. Thus, tegaserod fumarate was added to 5 volume of methanol and heated to reflux (66°); the solution was cooled to 25° within 35 min and filtered; the solid product was dried at 35° under vacuum until a constant weight was obtained; the 1H NMR indicated formation of tegaserod fumarate; x-ray powder diffraction and DSC anal. data confirmed that the product obtained was a novel polymorph of tegaserod fumarate; chemical purity >99% (as measured by HPLC); polymorphic purity = high (as measured by DSC).

IT 1089180-03-9P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(polymorphic forms of tegaserod fumarate and their use for treating gastrointestinal disorders)

RN 1089180-03-9 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

```
L6
     ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
AN
     2008:1484387 CAPLUS
DN
     150:24285
TΤ
     Novel tegaserod salts and novel polymorphic forms of tegaserod succinate,
     tartrate, malonate, camphor sulfonate, mandelate, oxalate and fumarate,
     and their use for treating patients suffering from gastrointestinal
IN
     Gaitonde, Abhay; Manojkumar, Bindu; Sonawane, Sandeep; Kokane, Dattatrey
PA
     Generics UK Ltd., UK; Mylan Development Centre Private Ltd.
S0
     PCT Int. Appl., 35pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN. CNT 1
     PATENT NO.
                                             APPLICATION NO.
                          KIND
                                 DATE
                                                                     DATE
PI
     WO 2008149154
                          A2
                                 20081211
                                             WO 2008-GB50413
                                                                     20080605
         W: AE, AG, AL,
                         AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
                                 CU, CZ,
                                          DE, DK,
                                                  DM, DO, DZ, EC, EE, EG, ES,
             CA, CH, CN,
                         CO, CR,
                         GE, GH,
                                 GM, GT, HN, HR, HU, ID, IL, IN,
                                                                   IS, JP, KE,
             FI, GB, GD,
                             KR,
                                     LA,
                                          LC,
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             KG,
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                    KN,
                         KP,
                                 KZ,
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                         MN,
                             MW.
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                                                               SV,
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                                              VC,
             TN,
                 TR,
                             UA,
                                      US,
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                                                               ZW
                     TT,
                         TZ,
                                 UG,
                                          UZ,
                                                   VN,
                                                           ZM,
         RW: AT, BE,
                     BG,
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                                                               GB,
                                                                   GR, HR,
             IE, IS,
                     IT,
                         LT, LU, LV, MC,
                                          MT, NL, NO, PL, PT,
                                                              RO,
                                                                   SE, SI, SK,
                         CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TR, BF,
                    B.I.
             TG, BW, GH,
                         GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                 20070605
PRAI IN 2007-MU1065
                          Α
     The present invention relates to various novel salts of tegaserod and to
     novel polymorphic forms of various salts of tegaserod, including
     polymorphic forms of tegaserod succinate, tartrate, malonate, camphor
     sulfonate, mandelate, oxalate and fumarate. The invention further relates
     to processes for the preparation of these novel salts and novel polymorphic
             The invention also relates to pharmaceutical compns. comprising
     these novel salts or novel polymorphs, and to uses of said compns. for
     treating patients suffering from gastrointestinal disorders.
     tegaserod free base was taken in 3.3 volume of methanol and added a solution of
     succinic acid (2 equiv) in 8 volume methanol; the reaction mixture was stirred
     at 25° for 30 min and filtered; the solid product was dried at
     40° under vacuum until a constant weight was obtained; 1H NMR indicated
     formation of tegaserod succinate; x-ray powder diffraction and DSC anal.
     data confirmed that the product obtained was a novel polymorph of
     tegaserod succinate; chemical purity >99% (as measured by HPLC); polymorphic
     purity = high (as measured by DSC).
IT
     1089180-03-9P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (novel tegaserod salts and novel polymorphic forms of
        tegaserod succinate, tartrate, malonate, camphor sulfonate, mandelate,
        oxalate and fumarate, and their use for treating patients suffering from gastrointestinal disorders)
     1089180-03-9 CAPLUS
RN
CN
     Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-
     , (2E)-2-butenedioate (1:?) (CA INDEX NAME)
     CM
          1
     CRN 145158-71-0
     CMF C16 H23 N5 0
```

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN L6

2008:972558 CAPLUS AN

DN 149:252252

ΤI Preparation of tegaserod maleate polymorphs

Tacca, Alessandra; Malpezzi, Luciana ΙN

Chemo Iberica, S.A., Spain PA

Eur. Pat. Appl., 16pp. S0

CODEN: EPXXDW

DT Patent

LA English

| PATENT NO. | | | | | | KIND | | DATE | | APPLICATION NO. | | | | | | DATE | | | |
|------------|-------------------|-----------|-----|-----|-----|------|----------|----------|-----|-----------------|----------------|-----|-----|-----|-----|------|----------|-----|--|
| PΙ | EP | P 1956002 | | | | A1 | | 20080813 | | | EP 2007-101855 | | | | | | 20070207 | | |
| | | R: | | | | | | CZ, | | | | | | | | GR, | , | , | |
| | | | | | | | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | |
| | | | BA, | HR, | MK, | RS | | | | | | | | | | | | | |
| PRAI | AI EP 2007-101855 | | | | | | 20070207 | | | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | | | |

The present invention refers to two new tegaserod (I) maleate polymorphs AB $(\alpha \text{ and } \beta)$ and to processes for their preparation I is treated with (S)-camphor-10-sulfonic acid to give the salt and then treated with maleic Then I maleate was ground and heated at 65° under reduced pressure for 3 days to give form β . 189188-57-6P, Tegaserod maleate

Ι

ΙT

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (tegaserod maleate polymorphs preparation)

RN 189188-57-6 CAPLUS

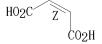
Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-CN , (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM1

CRN 145158-71-0 C16 H23 N5 O

CM 2

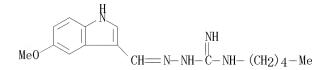
CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.



RE. CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:408751 CAPLUS
- DN 150:129377
- TI Crystalline forms and aqueous solubilities of an inflammatory bowel syndrome drug, tegaserod
- AU Srivijaya, R.; Vishweshwar, Peddy; Sreekanth, B. R.; Vyas, K.
- CS Department of Analytical Research, Discovery Research, Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India
- SO CrystEngComm (2008), 10(3), 283-287 CODEN: CRECF4; ISSN: 1466-8033
 - URL: http://www.rsc.org/Publishing/Journals/CE/article.asp?Journal=CE4&VolumeYear=200810&Volume=10&JournalCode=CE&MasterJournalCode=CE&SubYear=2008&type=Issue&Issue=3&x=11&y=5
- PB Royal Society of Chemistry
- DT Journal; (online computer file)
- LA English
- AB Tegaserod is a 5-HT4 agonist used for the management of irritable bowel syndrome (IBS) and constipation. Two polymorphs (F and H) of Tegaserod free base (TFB), eight polymorphs (A, B, B1, B2, B3, C, D, and E) of Tegaserod maleate salt (TM), Tegaserod hemi-maleate and Tegaserod acetate forms have been patented. The present study describes novel forms of Tegaserod and crystal structures of TFB, its monohydrate (TFBH) and Tegaserod nicotinate salt methanol solvate (TNM). It was shown that TFB exists in a tautomeric form II, TFBH is more water-soluble than TFB, and TNM and unsolvated Tegaserod nicotinate (TN) salts are more water-soluble than the marketed maleate salt (form A).
- IT 189188-57-6, Tegaserod maleate
 - RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (crystalline forms and aqueous solubilities of an inflammatory bowel syndrome drug, tegaserod)
- RN 189188-57-6 CAPLUS
- CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CRN 145158-71-0 CMF C16 H23 N5 0



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\text{HO}_2\text{C} \qquad \text{CO}_2\text{H}$$

RE. CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:1300723 CAPLUS
AN
DN
     147:539679
ΤI
     Alleles and polymorphisms associated with type 2 diabetes mellitus and
     obesity and their diagnostic use
     Salonen, Jukka T.; Hyppoenen, Jelena; Kaikkonen, Jari; Pirskanen, Mia;
ΤN
     Uimari, Pekka; Aalto, Juha-Matti
PA
     Oy Jurilab Ltd., Finland
S0
     PCT Int. Appl., 456pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN. CNT 1
     PATENT NO.
                                              APPLICATION NO.
                                                                      DATE
                          KIND
                                 DATE
PΙ
     WO 2007128884
                           A1
                                 20071115
                                              WO 2007-FI50266
                                                                      20070509
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             CH, CN, CO,
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                                                           EE, EG, ES, FI, GB,
                                                                JP, KE, KG, KM,
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                         GM, GT,
                                  HN, HR,
                                           HU, ID, IL, IN,
                                                           IS.
                KP,
             KN,
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                                                   LT, LU, LY, MA, MD, MG, MK,
                     KR,
                         KZ, LA,
                                           LR, LS,
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                                                   NZ,
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             MN, MW,
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                         MY,
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                 RU,
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             BJ, CF.
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                         CI,
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                                                                    TD, TG, BW,
             GH, GM, KE,
                          LS,
                             MW, MZ, NA,
                                          SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG,
                     KZ,
                         MD,
                              RU, TJ, TM
     US 20070292412
                                 20071220
                           A1
                                              US 2007-798002
                                                                      20070509
     EP 2021502
                                 20090211
                                              EP 2007-730753
                                                                      20070509
                           A1
                             CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
            AT, BE, BG,
                         CH,
             IS, IT, LI,
                         LT,
             AL, BA, HR, MK,
                              RS
PRAI US 2006-798706P
                                 20060509
     US 2006-798774P
                                 20060509
     US 2006-805522P
                           Р
                                 20060622
     US 2006-819015P
                           Р
                                 20060707
                           Р
     US 2006-827306P
                                 20060928
                           Р
     US 2006-863438P
                                 20061030
     US 2006-864681P
                           Р
                                 20061107
     WO 2007-F150266
                           W
                                 20070509
AB
     Genes, SNP markers and haplotypes that are markers of susceptibility or
     predisposition to type 2 diabetes and obesity and related medical
     conditions are disclosed. Methods for diagnosis, prediction of clin.
     course and efficacy of treatments for type 2 diabetes, obesity and related
     phenotypes using polymorphisms in the risk genes are also disclosed. The
     genes, gene products and agents of the invention are also useful for
     monitoring the effectiveness of prevention and treatment of type 2
     diabetes and related traits. Kits are also provided for the diagnosis,
     selecting treatment and assessing prognosis of type 2 diabetes. Novel
     methods for prevention and 10 treatment of metabolic diseases such as type
     2 diabetes based on the disclosed type 2 diabetes genes, polypeptides and
     related pathways are also disclosed.
ΙT
     189188-57-6
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (target for, in treatment of diabetes; alleles and
        polymorphisms associated with type 2 diabetes and obesity and
        their diagnostic use)
RN
     189188-57-6 CAPLUS
     Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-
     , (2Z)-2-butenedioate (1:1) (CA INDEX NAME)
     CM
     CRN 145158-71-0
```

CMF C16 H23 N5 O

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \\ \text{4-Me} \end{array}$$

CM = 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RE. CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:814348 CAPLUS
AN
DN
     147:197350
ΤI
     Maleate salt of tegaserod and crystalline forms thereof
     Frenkel, Gustavo; Ini, Santiago; Koltai, Tamas
IN
PA
     Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,
S0
     PCT Int. Appl., 17pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN. CNT 2
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                          DATE
PΙ
                                   20070726
     WO 2007084761
                                                WO 2007-US1644
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                           CM,
                               GA,
                                    GN, GQ, GW, ML,
                                                     MR, NE, SN,
                                                                   TD,
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              GM, KE, LS,
                           MW,
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              KG, KZ, MD,
                               TJ, TM
                           RU,
     US 20070208072
                            A1
                                   20070906
                                                US 2007-655510
                                                                          20070118
                                   20070927
                                                US 2007-655511
     US 20070225507
                                                                          20070118
                            A 1
PRAI US 2006-760306P
                            Р
                                   20060118
     US 2006-872950P
                            Р
                                   20061204
     Provided is sesqui-tegaserod maleate and processes for preparation thereof.
     a mixture of N-amino-N'-pentylguanidine hydriodide in water was added
     5-methoxy-1H-indole-3-carboxaldehyde followed by NaOH and stirred at room
     temperature The resulting solution was treated with a solution of maleic acid to give
     tegaserod maleate.
ΙT
     189188-57-6P, Tegaserod maleate 944385-50-6P
     944385-51-7P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (maleate salt of tegaserod and crystalline forms thereof)
     189188-57-6 CAPLUS
     \label{thm:hydrazine} Hydrazine carboximidamide, \ 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, \ (2Z)-2-butenedioate \ (1:1) \ \ (CA \ INDEX \ NAME)
CN
     CM
     CRN
          145158-71-0
     CMF
          C16 H23 N5 0
                      = N- NH\cdot
                                 -NH— (CH2)4—Me
```

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 944385-50-6 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (2:3) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 944385-51-7 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, hydrate (2:3:4) (CA INDEX NAME)

CM 1

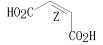
CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \\ 4-\text{MeO} \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:814347 CAPLUS
AN
DN
      147:197349
ΤI
     Process for preparing a crystalline form of tegaserod maleate
     Frenkel, Gustavo; Ini, Santiago
IN
PA
     Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,
S0
     PCT Int. Appl., 11pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN. CNT 2
     PATENT NO.
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                                    DATE
                                                 APPLICATION NO.
                                                                           DATE
PΙ
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     WO 2007084697
                             A2
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                                                 WO 2007-US1492
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                                    HR, HU,
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                           MY,
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                                    NA,
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                                                      NZ,
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              RS,
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                                             EA, EP, OA
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     US 20070208072
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     US 20070225507
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                                                 US 2007-655511
                                                                           20070118
                             A1
PRAI US 2006-760306P
                             Р
                                    20060118
                             Р
      US 2006-872950P
                                   20061204
     Provided is a process for preparing tegaserod maleate.
                                                                    To a mixture of
     5-methoxy-1H-indole-3-carboxaldehyde and NaOH was added a solution of
     N-amino-N'-pentylguanidine hydroiodide in water. The product obtained was
      treated with maleic acid to give tegaserod maleate.
ΙT
     189188-57-6P, Tegaserod maleate
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (process for preparing crystalline form of tegaserod maleate)
RN
      189188-57-6 CAPLUS
     \label{thm:hydrazine} \begin{tabular}{ll} Hydrazine carboximidamide, & 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, & (2Z)-2-butenedioate & (1:1) & (CA INDEX NAME) \\ \end{tabular}
CN
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     CRN
           145158-71-0
          C16 H23 N5 0
     CMF
                                 -NH- (CH2)4-Me
                   CH = N - NH -
```

CDN 11

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\begin{array}{c|c} \text{HO}_2\text{C} & \text{Z} \\ \hline \text{CO}_2\text{H} \end{array}$$

```
L6
     ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:13694 CAPLUS
AN
DN
     146:107665
ΤI
     Polymorphic forms of tegaserod maleate
IN
     Ini, Santiago; Koltai, Tamas; Pinchasov, Michael; Gorohovsky, Sofia;
     Frenkel, Gustavo
     Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,
PA
S0
     PCT Int. Appl., 40pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN. CNT 3
     PATENT NO.
                                              APPLICATION NO.
                                                                       DATE
                          KIND
                                 DATE
PI
     WO 2007002314
                           A2
                                  20070104
                                              WO 2006-US24348
                                                                       20060622
     WO 2007002314
                           A3
                                  20070628
     WO 2007002314
                           A9
                                  20070823
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                                                                       20060622
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                                  20071218
                                              BR 2006-5901
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                           Α
     EP 1893195
                                              EP 2006-785363
                           A2
                                  20080305
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                                  20080508
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     KR 2007062504
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                                  20070427
                                              MX 2007-2113
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                                  20071115
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                           Α
                                              MX 2007-16179
     MX 2007016179
                           Α
                                  20080311
                                                                       20071214
PRAI US 2005-693301P
                           P
                                  20050622
     US 2005-704048P
                           Р
                                  20050728
     US 2005-721729P
                           P
                                  20050928
     US 2005-729258P
                           Ρ
                                  20051020
     US 2006-773066P
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     US 2006-792811P
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                                  20060417
                           Р
     US 2006-792801P
                                  20060417
     US 2006-796739P
                           Р
                                  20060501
     JP 2007-534928
                                  20060622
                           A3
     WO 2006-US24348
                           W
                                  20060622
     US 2006-872955P
                           Р
                                  20061204
                           P
     US 2007-899166P
                                  20070201
     US 2007-902418P
                           Р
                                  20070220
     US 2007-903988P
                           Р
                                  20070227
AB
     Provided are crystalline forms of tegaserod maleate and processes for the
     preparation thereof. Tegaserod maleate was dissolved in water and ethanol absolute
     at reflux temperature After removal of the solvents, the product was analyzed
     by XRD and found to be mixture of Forms B3 and A.
ΙT
     189188-57-6, Tegaserod maleate
     RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
         (polymorphic forms of tegaserod maleate)
RN
     189188-57-6 CAPLUS
CN
     Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-
     , (2Z)-2-butenedioate (1:1) (CA INDEX NAME)
```

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)}_{4} - \text{Me} \\ \end{array}$$

CM = 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

IT 855997-84-1

RL: RCT (Reactant); RACT (Reactant or reagent) (polymorphic forms of tegaserod maleate)

RN 855997-84-1 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, hydrate (2:1:1) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

- L6 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:1347213 CAPLUS
- DN 146:369280
- TI Study on crystal forms of tegaserod maleate
- AU Li, Zhonghong; Wang, Yu; Yang, Yu; Ni, Kunyi
- CS Jiangsu Institute for Drug Control, Nanjing, Jiangsu Province, 210008, Peop. Rep. China
- SO Yaowu Fenxi Zazhi (2005), 25(11), 1346-1349 CODEN: YFZADL; ISSN: 0254-1793
- PB Yaowu Fenxi Zazhi Bianji Weiyuanhui
- DT Journal
- LA English
- AB To investigate the phys. characters of the 2 crystal forms of tegaserod maleate, FTIR, Raman spectroscopy, thermal anal. and powder x-ray diffraction were applied to study the crystal forms of tegaserod maleate. Crystallized from different solvents, tegaserod maleate had 2 crystal forms. Both of the crystal forms of tegaserod maleate had same m.ps., and their DSC and TG curves were same, too. But their IR spectra, Raman spectroscopy, and powder x-ray diffraction patterns were different. FTIR, Raman spectroscopy, and powder x-ray diffraction were the effective methods to characterize the 2 crystal forms of tegaserod maleate.
- IT 189188-57-6, Tegaserod maleate

RL: PRP (Properties)

(polymorphism of tegaserod maleate studied via FTIR, Raman spectroscopy, thermal anal., and powder x-ray diffractometry)

RN 189188-57-6 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RE. CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
     2005:567159 CAPLUS
AN
DN
     143:83499
ΤI
     Polymorphic forms of tegaserod base and salts
     Mendelovici, Marioara; Aronhime, Judith; Ini, Santiago; Sterimbaum, Greta;
IN
     Luvchick, Eran
PA
     Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,
S0
     PCT Int. Appl., 65 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN. CNT 1
     PATENT NO.
                                                APPLICATION NO.
                                                                         DATE
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     WO 2005058819
                            A2
                                  20050630
                                                WO 2004-US42822
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     CA 2550886
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                            A1
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                          DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
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                                  20070824
                                                IN 2006-DN3627
                                                                         20060623
                            Α
     KR 2006111675
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                                               KR 2006-713882
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                            Α
PRAI US 2003-530278P
                                  20031216
                            Р
     US 2004-585423P
                                  20040702
     US 2004-609715P
                            Р
                                  20040914
                            W
     WO 2004-US42822
                                  20041216
     Polymorphic forms of tegaserod base and its maleate salt, and processes
AΒ
     for their preparation are disclosed. Tegaserod maleate was combined with MeCn
     and heated to reflux until dissoln. of the drug to give the form A of the
     drug.
     145427-85-6P 189188-57-6P, Tegaserod maleate
ΙT
     855997-84-1P 855997-86-3P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (polymorphic forms of tegaserod base and salts)
RN
     145427-85-6 CAPLUS
     Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-
CN
     , (2Z)-2-butenedioate (2:1) (CA INDEX NAME)
     CM
          1
     CRN
         145158-71-0
     CMF C16 H23 N5 O
```

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 189188-57-6 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM = 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 855997-84-1 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, hydrate (2:1:1) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 855997-86-3 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with 1,4-dioxane (2:1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM = 2

CRN 123-91-1 CMF C4 H8 02

CM 3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

IT 855997-81-8 855997-82-9 855997-83-0

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(polymorphic forms of tegaserod base and salts)

RN 855997-81-8 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with 1-propanol (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \text{4-Me} \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\text{HO}_2\text{C} \qquad \text{CO}_2\text{H}$$

CM = 3

CRN 71-23-8 CMF C3 H8 0

 ${\rm H}_{3}{\rm C}{-}\,{\rm CH}_{2}{-}\,{\rm CH}_{2}{-}\,{\rm OH}$

RN 855997-82-9 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with trichloromethane (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM = 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CRN 67-66-3 CMF C H C13

RN 855997-83-0 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with ethanol (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

MeO
$$\sim$$
 CH= N-NH-C-NH- (CH2) 4-Me

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM 3

CRN 64-17-5 CMF C2 H6 0

 ${
m H3C-CH2-OH}$

RE. CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
      ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
      2004:817858 CAPLUS
AN
DN
      141:320073
ΤI
      Novel crystalline forms of tegaserod maleate
     Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu;
IN
     Muralidhara, Reddy Dasari; Srinivas, Reddy Itiyala
PA
     Hetero Drugs Limited, India
S0
     PCT Int. Appl., 15 pp.
      CODEN: PIXXD2
DT
      Patent
LA
      English
FAN. CNT 1
      PATENT NO.
                             KIND
                                     DATE
                                                    APPLICATION NO.
                                                                               DATE
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     WO 2004085393
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                                                    IN 2003-CN777
                                                                               20030521
                              Α
      US 20050119328
                              A1
                                     20050602
                                                   US 2004-508905
                                                                               20040923
                                     20030325
PRAI WO 2003-IN76
                              Α
      The present invention relates to novel crystalline forms of tegaserod maleate,
      to processes for their preparation and to pharmaceutical compns. containing them.
      (A) tegaserod maleate Form I is prepared by (i) adding maleic acid to a
     solution of tegaserod free base in acetone, and (ii) isolating tegaserod maleate Form I, (B) tegaserod maleate Form II is prepared by (i) dissolving
      tegaserod maleate in methanol, and (ii) precipitating tegaserod maleate Form II
      from the solution by mixing with acetonitrile, (C) tegaserod maleate Form III
      is prepared by (i) mixing maleic acid and a solution of tegaserod free base in
     methanol, and (ii) collecting the tegaserod maleate Form III solid separated
      by filtration, and (D) tegaserod maleate Form IV is prepared by (i) mixing
     maleic acid and a solution of tegaserod free base in methanol, and (ii) precipitating
     tegaserod maleate Form IV by mixing with methylene dichloride or iso-Pr alc. For example, to a solution of 10 g of tegaserod free base, dissolved in 100 mL of acetone (100 mL), was added 4 g of maleic acid and the contents were maintained for 1 h at 25^{\circ}. The separated solid is filtered to
      give 12.5 g of tegaserod maleate Form I.
      189188-57-6P, Tegaserod maleate
      RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP
      (Physical process); SPN (Synthetic preparation); THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
         (preparation of crystalline forms of tegaserod maleate for dosage
         forms)
RN
      189188-57-6 CAPLUS
      Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-y1)methylene]-N-pentyl-
CN
     , (2Z)-2-butenedioate (1:1) (CA INDEX NAME)
     CM
           1
      CRN 145158-71-0
     CMF C16 H23 N5 0
```

CM = 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\text{HO}_2\text{C} \qquad \text{CO}_2\text{H}$$

RE. CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 14 and modification?) UNMATCHED RIGHT PARENTHESIS 'IFICATION?)'

=> s 14 and modification? $\begin{array}{ccc} & 121 \text{ L4} \\ & 445819 \text{ MODIFICATION?} \\ \text{L7} & 2 \text{ L4 AND MODIFICATION?} \end{array}$

=> d 1-2 bib abs hitstr

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L.7
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:618179 CAPLUS
AN
DN
     147:39163
TΙ
     Composition comprising 5-HT4 agonist for treatment of irritable bowel
     syndrome
     Levy, Stephane David
ΤN
PA
     Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
S0
     PCT Int. Appl., 9pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN. CNT 1
     PATENT NO.
                          KIND
                                 DATE
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                                                                      DATE
PΙ
     WO 2007062837
                                                                      20061130
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             KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRAI GB 2005-24668
                                 20051202
                           Α
     The invention relates to a pharmaceutical composition, use, or method in the
     treatment of irritable bowel syndrome (IBS) characterized by mixed or
     alternating bowel habits based on a modification of the Rome II
     criteria (IBS-M), with 5-hydroxytryptamine type-4 receptor agonists (5-HT4
     agonists), in particular tegaserod.
     189188-57-6, Tegaserod maleate
ΤT
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (composition comprising 5-HT4 agonist for treatment of irritable bowel
        syndrome)
RN
     189188-57-6 CAPLUS
     Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-
CN
     , (2Z)-2-butenedioate (1:1) (CA INDEX NAME)
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         145158-71-0
     CRN
         C16 H23 N5 0
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CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$\begin{array}{c|c} \text{HO}_2\text{C} & \\ \hline Z & \\ \text{CO}_2\text{H} \end{array}$$

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     Stable modifications of tegaserod hydrogen maleate
     Pfeffer, Sabine; Vitzling, Christian
IN
     Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PA
S<sub>0</sub>
     PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
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     WO 2004-EP8281
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                                   20040723
GI
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$$\begin{array}{c|c} N & NH \\ N & N & N + CH_2 + Me \\ MeO & NH & \end{array}$$

AB The present invention relates to crystalline forms of tegaserod (I) hydrogen maleate, their use, in pharmaceutical compns. useful in the treatment of irritable bowel syndrome, gastro-esophageal reflux disease, functional dyspepsia, chronic constipation or diarrhea, and their production I was prepared, converted to the H maleate and crystal modifications A,

B, acetone and ethanol solvate prepared Tablets were prepared containing modification A.

IT 189188-57-6P, Tegaserod maleate 843609-62-1P, Tegaserod maleate acetone solvate 843609-63-2P, Tegaserod maleate ethanol solvate 843609-66-5P, Tegaserod maleate isopropanol solvate RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (stable modifications of tegaserod hydrogen maleate)

RN 189188-57-6 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 843609-62-1 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with 2-propanone (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CRN 67-64-1 CMF C3 H6 0

RN 843609-63-2 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with ethanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)} \\ \text{4-Me} \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM 3

CRN 64-17-5 CMF C2 H6 0

 ${
m H}_3{
m C}-{
m CH}_2-{
m OH}$

RN 843609-66-5 CAPLUS

CN Hydrazinecarboximidamide, 2-[(5-methoxy-1H-indol-3-yl)methylene]-N-pentyl-, (2Z)-2-butenedioate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 145158-71-0 CMF C16 H23 N5 0

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH} = \text{N-NH-C-NH-(CH2)}_4 - \text{Me} \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CM = 3

CRN 67-63-0 CMF C3 H8 0

RE. CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
     2005:141030 CAPLUS
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     142:225898
ΤI
     Stable modifications of tegaserod hydrogen maleate
     Pfeffer, Sabine; Vitzling, Christian
IN
     Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PA
S<sub>0</sub>
     PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
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     WO 2004-EP8281
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                                   20040723
GI
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$$\begin{array}{c|c} N & NH \\ N & N & N + CH_2 + Me \\ MeO & NH \end{array}$$

AB The present invention relates to crystalline forms of tegaserod (I) hydrogen maleate, their use, in pharmaceutical compns. useful in the treatment of irritable bowel syndrome, gastro-esophageal reflux disease, functional dyspepsia, chronic constipation or diarrhea, and their production I was prepared, converted to the H maleate and crystal modifications A, B,

acetone and ethanol solvate prepared Tablets were prepared containing

modification A.

RE. CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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10/565, 454
     ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
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     141:337762
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     Bizot, Marie-Noelle; Iwicki, Mark Thomas; Vitzling, Christian
ΙN
     Novartis Ag, Switz.; Novartis Pharma GmbH
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     PCT Int. Appl., 14 pp.
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This invention relates to an oral suspension of tegaserod or AB pharmaceutically acceptable salts thereof. In particular, it relates to an oral suspension comprising an effective amount of tegaserod or a pharmaceutically acceptable salt thereof in a beverage, e.g. apple juice.

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20070222

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JP 2006-504921

IN 2005-CN2451

HK 2006-107829

US 2006-551218

RE. CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

JP 2006522049

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PRAI GB 2003-7440

IN 2005CN02451

US 20070043102

WO 2004-EP3368

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     139:41862
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     Solid pharmaceutical composition for oral administrations of
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     Vitzling, Christian; Aubert, Jerome
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     Novartis AG, Switz.
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     Eur. Pat. Appl., 9 pp.
     CODEN: EPXXDW
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                                           UA, US, UZ, VC, VN,
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              DK, EE, ES,
                          FΙ,
                               FR, GB, GR,
                                            IE, IT, LU, MC, NL,
                                                                PT,
                                                                     SE, SI, SK,
     AU 2002361198
                                               AU 2002-361198
                                  20030709
                                                                        20021220
                            Α1
     AU 2002361198
                            B2
                                  20060608
     EP 1458377
                            A1
                                  20040922
                                               EP 2002-796711
                                                                        20021220
     EP 1458377
                            B1
                                  20060322
                          DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
             AT,
                 BE, CH,
              IE, SI, LT, LV,
                                               BR 2002-15148
     BR 2002015148
                                  20041019
                                                                        20021220
                            Α
     IN 2002MA00970
                                  20050304
                                               IN 2002-MA970
                                                                        20021220
                            Α
     CN 1606438
                                  20050413
                                               CN 2002-825532
                                                                        20021220
                            Α
                            Τ
                                  20050609
                                               JP 2003-554189
     JP 2005516946
                                                                        20021220
     HU 2004002492
                            A2
                                  20050728
                                               HU 2004-2492
                                                                        20021220
     HU 2004002492
                            A3
                                  20080428
     AT 320807
                            Т
                                  20060415
                                               AT 2002-796711
                                                                        20021220
     NZ 533585
                                  20060428
                                               NZ 2002-533585
                                                                        20021220
                            Α
                                                  2002-796711
     PT 1458377
                            Τ
                                  20060731
                                               PΤ
                                                                        20021220
                                               ES 2002-796711
     ES 2258171
                            T3
                                  20060816
                                                                        20021220
     RU 2322978
                            C2
                                  20080427
                                               RU 2004-122631
                                                                        20021220
                                               IL 2002-162451
     IL 162451
                                  20090211
                                                                        20021220
                            Α
     MX 2004006157
                            Α
                                  20041027
                                               MX 2004-6157
                                                                        20040621
                                               NO 2004-2779
     NO 2004002779
                            Α
                                  20040917
                                                                        20040701
     US 20050106236
                                  20050519
                                               US 2004-499721
                            A1
                                                                        20041221
     ZA 2004004467
                                  20060531
                                               ZA 2004-4467
                                                                        20060328
                            A
PRAI EP 2001-403339
                                  20011221
                            Α
     WO 2002-EP14674
                            W
                                  20021220
AB
     A solid pharmaceutical composition for oral administration comprises
     tegaserod in a base or salt form (up to 10% by weight), a bulking
     agent at 70-90% by weight, a disintegrant at <14% a glidant and a lubricant.
     Thus, tablets contained tegaserod maleate 6.65, spray-dried
     lactose 76.85, Crospovidone 10.00, Aerosil 0.50, and Compritol ATO-800
     <0.3%.
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RE. CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
- 2000:144701 CAPLUS AN
- DN 132:185442
- New oral formulation for 5-HT4 agonists or antagonists ΤI
- De Bruijn, Karel; Engel, Gunter; Pfannkuche, Hans-Jurgen; Thewissen, Michael; Vitzling, Christian; Zuger, Othmar Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft IN
- PA m. b. H.
- PCT Int. Appl., 30 pp. CODEN: PIXXD2 S0

| | DT LA | Pat Eng | lish | IVV | UZ | | | | | | | | | | | | | | |
|--------------------------|----------|----------------------------------|---|---------------------------------|---------------------------------|---------------------------------|-------------------------------------|----------------------|------------------------------|--------------------------|-------------------|----------------|---|---------------------------------|---------------------|------------|-------------|-------------------------|--------------------------|
| FAN. CNT 1 PATENT NO. | | | | KIND | | DATE | | APPLICATION NO. | | | | | | DATE | | | | | |
| | PΙ | I WO 2000010526 WO 2000010526 | | | | A2 A3 | | 20000302 20000908 | | | WO 1999-EP6083 | | | | | 19990819 | | | |
| | | WO. | W: | AE, CZ, IS, MK, TJ, | AL, DE, JP, MN, TM, | AM, DK, KE, MW, TR, | AT, EE, KG, MX, | ES, | AZ, FI, KR, NZ, | BA, GB, KZ, PL, | GD, LC, PT, | GE LK RC | | GM, LS, SD, | HR, LT, SE, | HU, | ID, LV, | IL, MD, | IN, MG, |
| | | | RW: | | GM, FI, CM, | KE, FR, | LS, GB, | MW, GR, | SD, IE, | SL, IT, | SZ, LU, | UG MC | S, ZW, C, NL, N, TD, | AT, PT, | BE, | CH, BF, | CY, BJ, | DE, CF, | DK, CG, |
| | | CA : | 23872 23387 23387 | 25 794 | ĺ | | B A 1 | | 2005 2000 2009 | 0901 0302 | 1,2, | TW | 1999- 1999- | -8811 | | | | | |
| | | AU : | 99562 76282 | 232 | | | A B2 | | 2000 2003 | 0314 0703 | | | 1999- | | | | | | |
| | | BR 9 EP | 99MI1 99131 11042 11042 | 135 289 | | | C A B2 A1 A A2 B1 | | 2001 2001 2001 2006 | 0508 0606 | | | 1999- 1999- 1999- | | 326 35 392 | | 1 1 1 | 9990 9990 9990 | 819 819 819 |
| | | Di. | | AT, | ВŁ, | CH, | DE, | DK, | | FR, | GB, | GR | R, IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | TR : | 2001(2001(| 0036 | 1 | | T2 | , | $\frac{2001}{2002}$ | $0621 \\ 0128$ | | | 2001- 2001- | | | | | 9990 9990 | |
| | | EE : | 20010 20010 5054 | 0034; | 31 4 | | A3 A B1 | | 2002 2002 2008 | 0617 | | EE | 2001 | -104 | | | 1 | 9990 | 819 |
| | | TR : JP : JP : | 2001(20025 4048(|)2259 52339)24 | 9 51 | | T2 T B2 | | 2002 2002 2008 | 0621 0730 | | JР | 2001- 2000- | -5658 | 348 | | 1 | 9990 9990 | 819 |
| | | NZ CN CN | 50983 11652 15899 | 32 293 906 | | | A C A | | 2003 2004 2005 | 0908 0309 | | NZ CN CN | 1999- 1999- 2004- 2001- | -5098 -8099 -1006 | 332 924 53873 | | 1 1 1 | 9990 9990 9990 | 819 819 819 |
| | | AT : | 22604 31678 22578 28525 | 124 32 369 | | | C2 T T3 B6 | | 2005 2006 2006 2006 | 0215 0801 | | AT ES | 1999- 1999- 2001- 2004- 1999- | -1069 -9428 -9428 -243 | 199 192 192 | | 1 1 1 | 9990 9990 9990 | 819 819 819 819 |
| | | TR S | 2001(2001(2001(2001(2001(2002(2002(2002 | 0018′ 69 86 | 7 | | T2 B1 A | | 2006 2007 2008 | 1121 0430 0210 | | 1L | 1999- | -1412 | 236 | | 1 | 9990 | 819 |
| | | FR : | 27824 27848 | 154 399 | | | В1 А1 | | 2000 2005 2000 | 1202 0428 | | | 1999- 1999- | | | | | 9990 9991 | |
| | | FR : | 27848 27991 27991 | 123 | | | B1 A1 B1 | | 2001 2001 2005 | 0406 | | FR | 2000- | -1074 | 8 | | 2 | 0000 | 821 |
| | | ZA : BG | 2001(10525 65322 | 00109 57 | 95 | | A A B1 | | 2003 2002 2001 2008 | 0508 1130 | | | 2001- 2001- | | | | | 0010 0010 | |
| | | IN : NO : | 20010 20010 20010 20010 | CN002 | 63 | | A A A | | 2005 2005 2001 2001 | 0304 0326 | | NO | 2001- 2001- 2001- | -863 | | | 2 | 0010: 0010: 0010: | 220 |
| | | | | | | | | | | | | | | | | | | | |

| | HR 2001000123 | A1 | 20020228 | HR 2001-123 | 20010220 |
|------|------------------|------------|-----------|-----------------------|---------------|
| | HK 1038179 | A1 | 20060908 | HK 2001-107619 | 20011031 |
| | IN 2003CN00812 | A | 20050422 | IN 2003-CN812 | 20030523 |
| | US 20030236183 | A1 | 20031225 | US 2003-620178 | 20030715 |
| | AU 2003248212 | A1 | 20031030 | AU 2003-248212 | 20030922 |
| | AU 2006200048 | A1 | 20060202 | AU 2006-200048 | 20060106 |
| | US 20080075773 | A1 | 20080327 | US 2007-947769 | 20071129 |
| PRAI | GB 1998-18340 | A | 19980821 | | |
| | GB 1998-23477 | A | 19981027 | | |
| | GB 1999-10320 | A | 19990505 | | |
| | GB 1999-11059 | A | 19990512 | | |
| | WO 1999-EP6083 | W | 19990819 | | |
| | US 2000-501364 | A3 | 20000210 | | |
| | IN 2001-CN226 | А3 | 20010216 | | |
| | AU 2003-248212 | A3 | 20030922 | | |
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AB A pharmaceutical composition, in particular a composition for administering active agents which are poorly soluble in aqueous media, and/or which are acid sensitive is disclosed. A tablet contained tegaserod hydrogen maleate 8.31, Polyplasdone XL 50.00, glyceryl monostearate 12.50, Poloxalkol 2.50, lactose 200 mesh 37.94, HPMC 6.25, polyethylene glycol-4000 7.50, and water 3.00 mg

water 3.00 mg.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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